

PCT

**NOTICE INFORMING THE APPLICANT OF THE  
COMMUNICATION OF THE INTERNATIONAL  
APPLICATION TO THE DESIGNATED OFFICES**

(PCT Rule 47.1(c), first sentence)

From the INTERNATIONAL BUREAU

To:

LONG, Giorgio  
Jacobacci & Perani  
Via Senato, 8  
I-20122 Milano  
ITALIE

f

Date of mailing (day/month/year) 18 January 2001 (18.01.01)		<b>IMPORTANT NOTICE</b>	
Applicant's or agent's file reference PM 123-GL EO 44060			
International application No. PCT/IT99/00207	International filing date (day/month/year) 07 July 1999 (07.07.99)	Priority date (day/month/year)	
Applicant INNOVET ITALIA S.R.L. et al			

1. Notice is hereby given that the International Bureau has communicated, as provided in Article 20, the international application to the following designated Offices on the date indicated above as the date of mailing of this Notice:

US

In accordance with Rule 47.1(c), third sentence, those Offices will accept the present Notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

2. The following designated Offices have waived the requirement for such a communication at this time:

EP,JP

The communication will be made to those Offices only upon their request. Furthermore, those Offices do not require the applicant to furnish a copy of the international application (Rule 49.1(a-bis)).

3. Enclosed with this Notice is a copy of the international application as published by the International Bureau on 18 January 2001 (18.01.01) under No. WO 01/04083

**REMINDER REGARDING CHAPTER II (Article 31(2)(a) and Rule 54.2)**

If the applicant wishes to postpone entry into the national phase until 30 months (or later in some Offices) from the priority date, a demand for international preliminary examination must be filed with the competent International Preliminary Examining Authority before the expiration of 19 months from the priority date.

It is the applicant's sole responsibility to monitor the 19-month time limit.

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

**REMINDER REGARDING ENTRY INTO THE NATIONAL PHASE (Article 22 or 39(1))**

If the applicant wishes to proceed with the international application in the national phase, he must, within 20 months or 30 months, or later in some Offices, perform the acts referred to therein before each designated or elected Office.

For further important information on the time limits and acts to be performed for entering the national phase, see the Annex to Form PCT/IB/301 (Notification of Receipt of Record Copy) and Volume II of the PCT Applicant's Guide.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer  J. Zahra
Facsimile No. (41-22) 740.14.35	Telephone No. (41-22) 338.83.38

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C233/18 C07D307/20 A61K31/164 A61K31/341 A61K31/44  
A61P43/00 C07C235/74 C07C271/12 C07C271/22 C07D295/088

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 96 18391 A (LIFEGROUP) 20 June 1996 (1996-06-20) claims; examples	1-19
A	WO 96 18600 A (LIFEGROUP) 20 June 1996 (1996-06-20) claims; examples	1, 16-19

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "G" document member of the same patent family

Date of the actual completion of the international search

21 February 2000

Date of mailing of the international search report

01/03/2000

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Zervas, B

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

## Continuation of Box I.2

Present claims 1 - 19 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds prepared in the examples.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
WO 9618391	A	20-06-1996	IT	MI942512 A	14-06-1996
			AU	4344296 A	03-07-1996
			CA	2207850 A	20-06-1996
			EP	0799033 A	08-10-1997
			JP	11500411 T	12-01-1999
			US	5990170 A	23-11-1999
WO 9618600	A	20-06-1996	IT	MI942513 A	14-06-1996
			AT	184591 T	15-10-1999
			AU	4344196 A	03-07-1996
			CA	2207804 A	20-06-1996
			DE	69512273 D	21-10-1999
			EP	0799188 A	08-10-1997
			JP	11501615 T	09-02-1999

**Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)**

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

**Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)**

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

1 28. The use according to claim 18, characterised in that said human  
2 pathologies are pathologies involving pressure alterations at  
3 cardiovascular, pulmonary or ocular level.

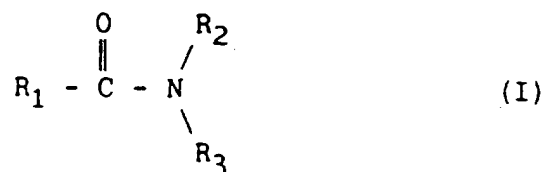
1 29. The use according to claim 28, characterised in that said  
2 pathology is glaucoma.

1 30. The use according to claim 18, characterised in that said human  
2 pathologies are chronic airway obstructions.

1 31. The use according to claim 30, characterised in that said  
2 pathology is asthma.

1 32. The use according to claim 18, characterised in that said human  
2 pathology is nausea.

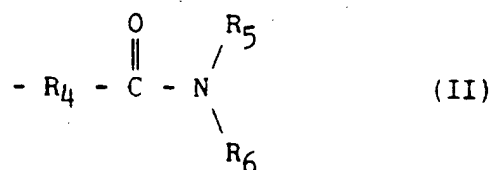
1 33. A pharmaceutical composition containing as the active principle a  
2 therapeutically active amount of amides of formula (I):



3 wherein  $\text{R}_1$  can be:

4 1) a linear or branched hydrocarbon radical having from 9 to 23 carbon  
5 atoms, saturated or presenting one double bond, optionally substituted  
6 with one or more -OH groups;

7 2) a group of formula (II):



8 wherein  $\text{R}_4$  is a linear hydrocarbon radical, saturated or containing  
9 one double bond, comprising from 7 to 22 carbon atoms, optionally  
10 substituted with one or more linear or branched alkyl groups  $\text{C}_1\text{-C}_8$

1 35. The pharmaceutical composition according to claim 33,  
2 characterised in being administered by oral, parenteral, topical or  
3 transdermical route.

1 36. The pharmaceutical composition according to claim 35,  
2 characterised in that said parenteral route is endovenous,  
3 subcutaneous or intramuscular route, and said composition is in the  
4 form of injectable solution or suspension.

1 37. The pharmaceutical composition according to claim 35, characterised  
2 in that said topical route is the ophthalmic route, and said composition  
3 is in the form of eyewash, solid or semi-solid formulation in the form  
4 of insert, gel or ointment.

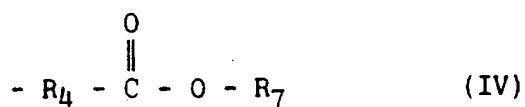
1 38. The pharmaceutical composition according to claim 35,  
2 characterised in being orally administered in the form of granular  
3 powder, tablets, dragees or capsules.

1 39. The pharmaceutical composition according to claim 35,  
2 characterised in being dermically or transdermically administered in  
3 the form of cream, ointment, gel or plaster, said active principle  
4 being optionally contained in slow-releasing microspheres.

1 40. The pharmaceutical composition according to claim 33, useful in  
2 the therapeutic treatment of human and animal pathologies connected  
3 with the modulation of cannabinoids peripheral receptor CB2, or with  
4 the degranulation and/or lysis of immunocompetent cells controlled by  
5 CB2 receptor.

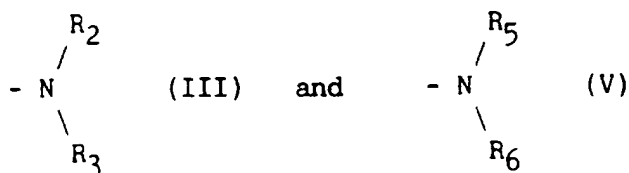
11 and/or with one or more -OH groups;

12 3) a group of formula (IV):

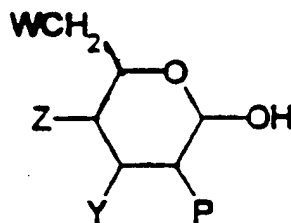


13 wherein  $R_4$  has the meanings described above and  $R_7 = -H$  or a linear or  
14 branched alkyl group, comprising from 1 to 20 carbon atoms;

15 the residues of formulae:



16 where  $R_2$  and  $R_3$  are equal or different from  $R_5$  and  $R_6$ , are residues of  
17 a glycosamine having formula:



18 wherein one of the groups P, Y and Z is  $-N-CO-R_1$  and the  

$$\begin{array}{c} | \\ R_3 \end{array}$$

19 other groups can be -H or -OH;  $R_1$  being as above described and  $R_3 = -H$   
20 or  $-CH_3$ ;

21 the residues  $R_2$ ,  $R_3$ ,  $R_5$  and/or  $R_6$  of formulae (III) and (V) being  
22 optionally substituted with at least a pharmaceutically acceptable  
23 radical capable of increasing the solubility of the amide of formula  
24 (I); in combination with pharmaceutically acceptable excipients.

1 34. The pharmaceutical composition according to claim 33, wherein said  
2 active principle is administered in quantities ranging from 0.1 and  
3 20 mg/kg/die.



## PCT COOPERATION TREATY

PCT

## NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner  
 US Department of Commerce  
 United States Patent and Trademark  
 Office, PCT  
 2011 South Clark Place Room  
 CP2/5C24  
 Arlington, VA 22202  
 ETATS-UNIS D'AMERIQUE  
 in its capacity as elected Office

<b>Date of mailing (day/month/year)</b> 30 March 2001 (30.03.01)	
<b>International application No.</b> PCT/IT99/00207	<b>Applicant's or agent's file reference</b> PM 123-GL
<b>International filing date (day/month/year)</b> 07 July 1999 (07.07.99)	<b>Priority date (day/month/year)</b>
<b>Applicant</b> COMELLI, Cristina et al	

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:  
 07 February 2001 (07.02.01)

☐ in a notice effecting later election filed with the International Bureau on:

2. The election ☒ was  
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

<b>The International Bureau of WIPO</b> 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	<b>Authorized officer</b> Juan Cruz Telephone No.: (41-22) 338.83.38
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## PCT

REC'D 11 OCT 2001

WIPO

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## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PM 123-GL	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/IT99/00207	International filing date (day/month/year) 07/07/1999	Priority date (day/month/year) [07/07/1999]
International Patent Classification (IPC) or national classification and IPC C07C233/18		
Applicant INNOVET ITALIA S.R.L. et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.



2. This REPORT consists of a total of 6 sheets, including this cover sheet.

- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand  07/02/2001	Date of completion of this report  09.10.2001
Name and mailing address of the international preliminary examining authority:   European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016	Authorized officer  Zervas, B  Telephone No. +31 70 340 3667  

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/IT99/00207

## I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17):*

**Description, pages:**

1-62 as originally filed

**Claims, No.:**

1-19 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/IT99/00207

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

### III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 1-19 (all in part).

because:

☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (*specify*):

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☒ the claims, or said claims Nos. 1-19 (all in part) are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for the said claims Nos. 1-19 (all in part).

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

### V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims
	No: Claims 1-19
Inventive step (IS)	Yes: Claims
	No: Claims 1-19
Industrial applicability (IA)	Yes: Claims 1-19

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/IT99/00207

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No: Claims

2. Citations and explanations  
**see separate sheet**

**Re Item III**

**Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

Present claims 1 - 19 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful examination over the whole of the claimed scope is impossible.

Furthermore, no International Search Report has been established for the part of the claims 1 - 19, which appear not to be supported and disclosed.

Consequently, the the examination has only been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds prepared in the examples.

**Re Item V**

**Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

D1: WO,A,9618391

**1. Novelty**

Document D1 discloses already amides, which modulate the CB2 cannabinoid peripheral receptor and which fall within the scope of the present claims (see D1, claim 1, lines 6 - 8 and lines 18 - 31).

The present application does therefore not satisfy the criterion as set forth in Article 33(2) PCT, because the subject-matter of claims 1-19 is not new.

**2. Inventive Step**

The present application does furthermore not satisfy the criterion as set forth in Article 33(3) PCT, because the subject-matter of claims 1 - 19 does not involve an inventive step.

In view of document D1, which discloses amides, which modulate the CB2 cannabinoid peripheral receptor and which is regarded as representing the closest prior art, the

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

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International application No. PCT/IT99/00207

problem underlying the present application can be defined as providing further compounds, which modulate the CB2 cannabinoid peripheral receptor. To solve this problem, the applicant provides the compounds of the general formula (I), which differ mainly from the compounds of D1 in that the moiety -Y-O-X corresponds to a protected -OH group instead of an unprotected -OH group. The substitution of a "free" functional group by the same protected functional group is, unless the applicant could verify unexpected effects or improvements resulting from said substitution, regarded as common practice for the person skilled in the art. Since the application does not disclose such unexpected effects or improvements, the compounds of the present application are regarded as not inventive.

# PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>PM 123-GL</b>	<b>FOR FURTHER ACTION</b> see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, Item 5 below.	
International application No. <b>PCT/IT 99/00207</b>	International filing date (day/month/year) <b>07/07/1999</b>	(Earliest) Priority Date (day/month/year)
Applicant <b>INNOVET ITALIA S.R.L. et al.</b>		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 4 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

### 1. Basis of the report

a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

2. ☒ Certain claims were found unsearchable (See Box I).

3. ☐ Unity of invention is lacking (see Box II).

4. With regard to the title,

☒ the text is approved as submitted by the applicant.

☐ the text has been established by this Authority to read as follows:

5. With regard to the abstract,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the drawings to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☐ None of the figures.



# INTERNATIONAL SEARCH REPORT

International application No.

PCT/IT 99/00207

## Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

## Continuation of Box I.2

Present claims 1 - 19 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds prepared in the examples.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

International Application No

PCT 99/00207

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C233/18 C07D307/20 A61K31/164 A61K31/341 A61K31/44  
A61P43/00 C07C235/74 C07C271/12 C07C271/22 C07D295/088

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 96 18391 A (LIFEGROUP) 20 June 1996 (1996-06-20) claims; examples	1-19
A	WO 96 18600 A (LIFEGROUP) 20 June 1996 (1996-06-20) claims; examples	1,16-19

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents :

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Date of the actual completion of the international search

21 February 2000

Date of mailing of the international search report

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# INTERNATIONAL SEARCH REPORT

Informa patent family members

International Application No

PCT 99/00207

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
W0 9618391 A	20-06-1996	IT MI942512 A AU 4344296 A CA 2207850 A EP 0799033 A JP 11500411 T US 5990170 A	14-06-1996 03-07-1996 20-06-1996 08-10-1997 12-01-1999 23-11-1999
W0 9618600 A	20-06-1996	IT MI942513 A AT 184591 T AU 4344196 A CA 2207804 A DE 69512273 D EP 0799188 A JP 11501615 T	14-06-1996 15-10-1999 03-07-1996 20-06-1996 21-10-1999 08-10-1997 09-02-1999